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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARCELA M CORDERO GARIN Examiner # : 80381 Date: 6/13/05

Art Unit: 1657 Phone Number: 2-2939 Serial Number: 10/722,843

Location (Bldg/Room#): REM3C35 (Mailbox #): 3C18 Results Format Preferred (circle): PAPER DISK ME

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To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: PEPTIDES WHICH TARGET TUMOR AND ENDOTHELIAL CELLS...

Inventors (please provide full names): SEE ATTCHD BIB DS

Earliest Priority Date: 11/25/02

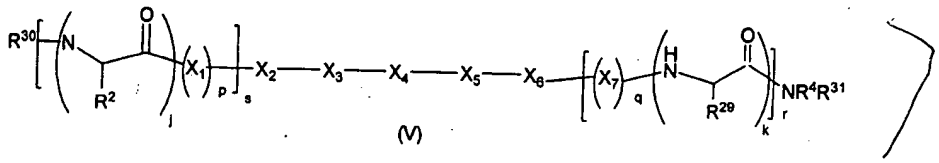
Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only* *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

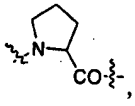
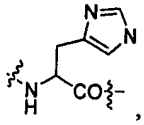
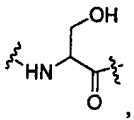
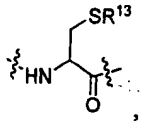
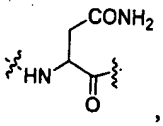
PLEASE SRCH THE SPECIES **Ac-Pro-His-Ser-Cys(Ac)-Asn-Dox**

WHICH HAS THE FORMULA:



(V)

WHEREIN

R^{30} is acyl, s is 0, X_2 is  , X_3 is  , X_4 is  , X_5 is  , R^{13} is $-C(O)CH_3$, X_6 is  , r is 0, R^4 is hydrogen and R^{31} is doxorubicin.

PLEASE ALSO SRCH INVENTORS. THANKS, Marcela

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STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: NOBLE	___ NA Sequence (#)	✓ STN ___ Dialog
Searcher Phone #:	___ AA Sequence (#)	___ Questel/Orbit ___ Lexis/Nexis
Searcher Location:	1 ✓ Structure (#)	___ Westlaw ___ WWW/Internet
Date Searcher Picked Up:	___ Bibliographic	___ In-house sequence systems
Date Completed: 6/20/05	___ Litigation	___ Commercial ___ Oligomer ___ Score/Length ___ Interference ___ SPDI ___ Encode/Transl ___ Other (specify)
Searcher Prep & Review Time: 10	___ Fulltext	
Online Time: 22	___ Other	

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 156214

TO: Marcela Cordero Garcia **Location: rem/3C35/3C18** **Art Unit: 1654** **Monday, June 20, 2005** **Case Serial Number: 10/722843**	**From: Noble Jarrell** **Location: Biotech-Chem Library** **Rem 1B71** **Phone: 272-2556** **Noble.jarrell@uspto.gov**

Search Notes

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=> d his

     (FILE 'HOME' ENTERED AT 09:06:07 ON 20 JUN 2005)

     FILE 'HCAPLUS' ENTERED AT 09:06:58 ON 20 JUN 2005

     FILE 'HCAPLUS' ENTERED AT 09:07:07 ON 20 JUN 2005

     FILE 'HCAPLUS' ENTERED AT 09:07:20 ON 20 JUN 2005
L1            3 US20050020810/PN OR (US2002-429174# OR US2003-475539#)/AP,PRN

     FILE 'REGISTRY' ENTERED AT 09:07:32 ON 20 JUN 2005

     FILE 'HCAPLUS' ENTERED AT 09:07:33 ON 20 JUN 2005
L2              TRA L1 1- RN :      209 TERMS

     FILE 'REGISTRY' ENTERED AT 09:07:34 ON 20 JUN 2005
L3           209 SEA L2

     FILE 'WPIX' ENTERED AT 09:07:37 ON 20 JUN 2005
L4            3 US20050020810/PN OR (US2002-429174# OR US2003-475539#)/AP,PRN

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FILE LAST UPDATED: 19 Jun 2005  (20050619/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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L1   ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:610128  HCAPLUS
DN   141:157478
ED   Entered STN:  30 Jul 2004
TI   Peptides which target tumor and endothelial cells, compositions and uses
     thereof
IN   Allan, Amy L.; Yoon, Won Hyung; Gladstone, Patricia L.; Ternansky, Robert
     J.; Parry, Graham; Donate, Fernando; Mazar, Andrew
PA   Attenuon, Llc, USA
SO   PCT Int. Appl., 117 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  C07K
CC   34-3 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 1, 63
FAN.CNT 2
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004063213	A2	20040729	WO 2003-US37895	20031125 <--
	WO 2004063213	A3	20050303		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004162239	A1	20040819	US 2003-723144	20031125 <--
	US 2005020810	A1	20050127	US 2003-722843	20031125 <--
PRAI	US 2002-429174P	P	20021125 <--		
	US 2003-475539P	P	20030602 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004063213	ICM	C07K
US 2004162239	NCL	514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000 <--
US 2005020810	NCL	530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000 <--

OS MARPAT 141:157478

AB The invention relates generally to peptide analogs of Ac-PHSCN-NH2 which target tumor and endothelial cells and have antitumor, antiangiogenic and antimetastatic activity and to methods for their synthesis and use in pharmaceutical compns. for treating, preventing and detecting diseases characterized by tumor growth, metastasis and angiogenesis. The peptide analogs may serve, inter alia, as carriers of radioactivity, PET-active compds., toxins, fluorescent mols. and PEG mols. Peptides R1[(NHCHR2CO)0-1(X1)0-100]m-X2-X3-X4-X5-X6-[(X7)0-1(NHCHR3CO)0-1]nNR4R5 [R1 is (un)substituted acyl, alkyl, cycloalkyl or imino, or acyl chelate; R2 is substituted alkyl; R4, R5 are (un)substituted alkyl; X1, X7 are NH(CH:CH)1-6CO, NH(CH2)1-6CO, NHCHMeCO; X2-X6 are α-amino acids which are defined; m, n are 0 or 1, with the proviso that R1 is not acetyl when R4 and R5 are H and m and n are 0] are claimed. Thus, Ac-Pro-His-Ser-Cys(Ac)-Asn-OH was prepared by the solid-phase method and coupled to doxorubicin hydrochloride to afford the conjugate.

ST peptide prolylhistidylserylcysteinylaspartamide analog prepn antitumor

IT Angiogenesis
Angiogenesis inhibitors
Antitumor agents
Neoplasm
(preparation of peptides which target tumor and endothelial cells)

IT Peptides, preparation
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)

IT Polyoxyalkylenes, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides which target tumor and endothelial cells)

IT 729594-60-9P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)

IT 7440-74-6DP, Indium, complexes with DPTA peptide conjugate
262438-43-7DP, analogs 729594-61-0P 729594-62-1P 729594-63-2P
729594-64-3P 729594-65-4P 729594-66-5P 729594-67-6P 729594-68-7P
729594-69-8P 729594-70-1P 729594-71-2P 729594-72-3P 729594-73-4P

729594-74-5P 729594-75-6P 729594-76-7P 729594-77-8P 729594-78-9P
729594-79-0P 729594-80-3P 729594-81-4P 729594-82-5P 729594-83-6P
729594-84-7P 729594-85-8P 729594-86-9P 729594-87-0P 729594-88-1P
729594-89-2P 729594-90-5P 729594-91-6P 729594-92-7P 729594-93-8P
729594-94-9P 729594-95-0P 729594-96-1P 729594-97-2P 729594-98-3P
729594-99-4P 729595-00-0P 729595-01-1P 729595-02-2P 729595-03-3DP,
polyethylene glycol derivative 729595-04-4P 729595-05-5P 729595-06-6P
729595-07-7P 729595-08-8P 729595-09-9P 729595-14-6P 730960-54-0P
731003-01-3DP, Indium complexes 731003-01-3P 731003-02-4P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)

IT 456-22-4, 4 Fluorobenzoic acid 501-97-3 553-12-8 3301-79-9, 6 Carboxyfluorescein 13811-11-5 25316-40-9, Doxorubicin hydrochloride 34071-95-9 66134-67-6 76823-03-5, 5 Carboxyfluorescein 106966-68-1 137076-54-1, 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, tris 1 1 dimethylethyl ester 517913-89-2 622405-78-1 729595-15-7 729595-16-8D, resin-bound 729595-17-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides which target tumor and endothelial cells)

IT 729595-10-2DP, resin-bound 729595-11-3DP, resin-bound 729595-12-4DP, resin-bound 729595-13-5DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides which target tumor and endothelial cells)

L1 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:467702 HCAPLUS
DN 141:33798
ED Entered STN: 10 Jun 2004
TI Peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, their preparation, and compositions and therapeutic uses thereof
IN Allan, Amy L.; Donate, Fernando; Hopkins, Stephanie A.; Gladstone, Patricia L.; Mazar, Andrew; O'Hare, Sean M.; Parry, Graham; Plunkett, Marian L.; Ternansky, Robert J.; Yoon, Won Hyung
PA Attenuon, LLC, USA
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K
CC 1-8 (Pharmacology)
Section cross-reference(s): 34, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004047771	A2	20040610	WO 2003-US38175	20031125 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004162239	A1	20040819	US 2003-723144	20031125 <--
	US 2005020810	A1	20050127	US 2003-722843	20031125 <--
PRAI	US 2002-429174P	P	20021125 <--		
	US 2003-475539P	P	20030602 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

WO 2004047771 ICM A61K
US 2004162239 NCL 514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000 <--
US 2005020810 NCL 530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000 <--

OS MARPAT 141:33798

AB The invention discloses peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, as well as methods of making the peptides, pharmaceutical compns. containing the peptides, and methods of using the peptides and pharmaceutical compns. to treat diseases associated with aberrant vascularization, e.g. cancer.

ST peptide cell invasion migration proliferation inhibition; antitumor aberrant vascularization disease peptide prepn

IT Sarcoma
(cartilage chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Cartilage, neoplasm
(chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Intestine, neoplasm
(colon; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Blood vessel
(endothelium; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Blood vessel, neoplasm
Sarcoma
(hemangiosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Angiogenesis
Angiogenesis inhibitors
Antitumor agents
Brain, neoplasm
Drug delivery systems
Kidney, neoplasm
Mammary gland, neoplasm
Neoplasm
Prostate gland, neoplasm
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Endothelium
(vascular; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-26-5D, biotinylated
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701200-82-0P 701201-01-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 81658-55-1P 701200-81-9P 701200-83-1P 701200-84-2P 701200-85-3P
701200-86-4P 701200-87-5P 701200-88-6P 701200-89-7P 701200-90-0P
701200-91-1P 701200-92-2P 701200-93-3P 701200-94-4P 701200-95-5P
701200-96-6P 701200-97-7P 701200-98-8P 701200-99-9P 701201-00-5P
701201-02-7P 701201-03-8P 701201-04-9P 701201-05-0P 701201-06-1P

701201-07-2P 701201-08-3P 701201-09-4P 701201-10-7P 701201-11-8P
701201-12-9P 701201-13-0P 701201-14-1P 701201-15-2P 701201-16-3P
701201-17-4P 701201-18-5P 701201-19-6P 701201-20-9P 701201-21-0P
701201-22-1P 701201-23-2P 701201-24-3P 701201-25-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-28-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 106-95-6, Allyl bromide, reactions 930-69-8 1212-08-4, S-Phenyl benzenethiosulfonate 2719-27-9, Cyclohexanoyl chloride 2937-50-0, Allyl chloroformate 2949-92-0, S-Methyl methanethiosulfonate 3282-30-2, Pivaloyl chloride 5271-67-0, 2-Thiophenecarbonyl chloride 6482-24-2, 2-Bromoethyl methylether 7031-27-8, (Phenylthio)acetyl chloride 10400-19-8, Nicotinoyl chloride 25644-88-6, S-Benzyl-L-cysteine sulfone 82911-69-1 262438-43-7 475150-36-8 701201-27-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

L1 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:849621 HCAPLUS
DN 137:353056
ED Entered STN: 08 Nov 2002
TI Preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors.
IN Chung, Yong-Jun; Lee, Keyong-Ho; Kim, Youn-Chul; Park, Ho-Jin
PA Kolon Ind. Inc., S. Korea
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D403-12
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 1

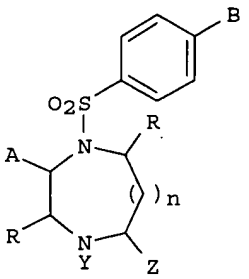
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002088115	A1	20021107	WO 2002-KR759	20020424
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	KR 2002083084	A	20021101	KR 2001-22767	20010426
	KR 2003047127	A	20030618	KR 2001-77522	20011207
	KR 2003075322	A	20030926	KR 2002-14481	20020318
	EP 1389204	A1	20040218	EP 2002-720668	20020424
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004533435	T2	20041104	JP 2002-585415	20020424
	US 2004138206	A1	20040715	US 2003-475539	20031211 <--
PRAI	KR 2001-22767	A	20010426		
	KR 2001-77522	A	20011207		
	KR 2002-14481	A	20020318		
	WO 2002-KR759	W	20020424		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002088115	ICM	C07D403-12
WO 2002088115	ECLA	C07C311/19; C07C311/29; C07D241/04; C07D241/08; C07D243/08; C07D245/02; C07D403/12+241B+207
JP 2004533435	FTERM	4C063/AA01; 4C063/BB03; 4C063/BB08; 4C063/CC34; 4C063/DD04; 4C063/DD12; 4C063/EE01; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/AA04; 4C086/BC49; 4C086/BC73; 4C086/GA07; 4C086/GA08; 4C086/GA09; 4C086/GA12; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA33; 4C086/ZA44; 4C086/ZA45; 4C086/ZA67; 4C086/ZA68; 4C086/ZA89; 4C086/ZA96; 4C086/ZA97; 4C086/ZB11; 4C086/ZB15; 4C086/ZB26; 4C086/ZC06; 4C086/ZC35; 4C086/ZC55; 4H006/AA01; 4H006/AA02; 4H006/AB84
US 2004138206	NCL	514/218.000; 514/254.010; 514/255.020; 514/183.000; 540/575.000; 540/474.000; 544/372.000; 544/383.000
	ECLA	C07C311/19; C07C311/29; C07D241/04; C07D241/08; C07D243/08; C07D245/02; C07D403/12+241B+207 <--

OS MARPAT 137:353056

GI



I

AB Title compds. [I; n = 0-3; A = CO2H, CONHOH, CH2SH, CH2OH; B = H, alkyl, NO2, aryl, heteroaryl, pyrrolyl, halo, alkoxy, aryloxy, alkylamino, alkylthio, CONHR, NHCOR, NHCO2R, NHCONHR, etc.; R = H, alkyl, aryl, heteroaryl, tetragonal to octagonal cyclic compound, alkyl substituted by a tetragonal to octagonal (hetero)cyclic compound; Z = H, O, S, provided that when Z = O, S it takes a double bond; Y = H, alkyl, aryl, heteroaryl, alkyl substituted by a tetragonal to octagonal cyclic compound, alkyl substituted by a tetragonal to octagonal heterocyclyl, CONHR, NHCOR, NHCO2R, NHCONHR, alkyl having a double or triple bond], were prepared Thus, Me 1-(4-methoxybenzenesulfonyl)-5-oxopiperazine-2-carboxylate (preparation given) was stirred 5 h with aqueous NH2OH to give 45% 1-(4-methoxybenzenesulfonyl)-5-oxopiperazine-2-hydroxamic acid. This inhibited MMP-2 with IC50 = 0.004 μM. I are angiogenesis controlling materials that can inhibit overexpression of matrix metalloproteinase that decomps. protein constituents in extracellular matrix and basement membranes of connective tissues.

ST benzenesulfonylpiperazine prepn matrix metalloproteinase inhibitor; cancer angiogenesis inhibitor prepn benzenesulfonylpiperazine; hydroxamate benzenesulfonylpiperazine prepn anticancer; piperazinehydroxamate arylsulfonyl prepn mmp inhibitor

IT Antitumor agents
Human
(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT Hydroxamic acids
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)
IT Angiogenesis
Neoplasm
(treatment; preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)
IT 9001-12-1, Matrix metalloproteinase-1 146480-35-5, Matrix metalloproteinase-2 146480-36-6, Matrix metalloproteinase-9 161384-17-4, Matrix metalloproteinase-14 175449-82-8, Matrix metalloproteinase-13
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)
IT 184349-80-2P 474410-18-9P 474410-20-3P 474410-22-5P 474410-24-7P 474410-25-8P 474410-27-0P 474410-28-1P 474410-30-5P 474410-31-6P 474410-33-8P 474410-34-9P 474410-35-0P 474410-36-1P 474410-37-2P 474410-38-3P 474410-39-4P 474410-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)
IT 74-89-5, Methylamine, reactions 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-46-9, Benzylamine, reactions 105-36-2, Ethyl bromoacetate 109-73-9, n-Butylamine, reactions 111-26-2, Hexylamine 111-86-4, Octylamine 112-90-3, Oleylamine 507-09-5, Thiolacetic acid, reactions 696-59-3, 2,5-Dimethoxytetrahydrofuran 765-30-0, Cyclopropylamine 2016-57-1, Decylamine 2038-03-1, N-(2-Aminoethyl)morpholine 2706-56-1, 2-(2-Aminoethyl)pyridine 3731-51-9, 2-Aminomethylpyridine 5619-04-5, DL-Serine methyl ester hydrochloride 5874-57-7 13610-11-2 27578-60-5, 1-(2-Aminoethyl)piperidine 202752-04-3 474410-63-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)
IT 85622-74-8P 184350-19-4P 474410-41-8P 474410-42-9P 474410-43-0P 474410-44-1P 474410-45-2P 474410-46-3P 474410-47-4P 474410-48-5P 474410-49-6P 474410-50-9P 474410-51-0P 474410-52-1P 474410-53-2P 474410-54-3P 474410-55-4P 474410-56-5P 474410-57-6P 474410-58-7P 474410-59-8P 474410-60-1P 474410-61-2P 474410-62-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Agouron Pharmaceuticals Inc; US 5753653 1996 HCAPLUS
(2) Anon; J MED CHEM 2000, V43(3), P369
(3) Fujisawa Pharmaceutical Co Ltd; WO 9827069 A 1998 HCAPLUS
(4) Nippon Soda Co Ltd; WO 0102371 A 2001 HCAPLUS
(5) Pfizer Inc; WO 9633172 A 1996 HCAPLUS

=> b wpix

FILE 'WPIX' ENTERED AT 09:08:15 ON 20 JUN 2005
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FILE LAST UPDATED: 16 JUN 2005 <20050616/UP>
MOST RECENT DERWENT UPDATE: 200538 <200538/DW>
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L4 ANSWER 1 OF 3 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-561873 [54] WPIX
CROSS REFERENCE: 2004-450190 [42]
DOC. NO. CPI: C2004-205382
TITLE: New peptide derivatives having anti-tumor activity useful for the treatment, prevention or detection of cancer.
DERWENT CLASS: B03 B04
INVENTOR(S): ALLAN, A L; DONATE, F; GLADSTONE, P L; MAZAR, A; PARRY, G; TERNANSKY, R J; YOON, W H
PATENT ASSIGNEE(S): (ATTE-N) ATTENUON LLC; (ALLA-I) ALLAN A L; (DONA-I) DONATE F; (GLAD-I) GLADSTONE P L; (MAZA-I) MAZAR A; (PARR-I) PARRY G; (TERN-I) TERNANSKY R J; (YOON-I) YOON W H
COUNTRY COUNT: 107
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2004063213	A2	20040729	(200454)*	EN	117	C07K000-00
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW						
AU 2003298726	A1	20040810	(200479)			C07K000-00
US 2005020810	A1	20050127	(200509)			C07K007-08<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2004063213	A2	WO 2003-US37895	20031125	
AU 2003298726	A1	AU 2003-298726	20031125	
US 2005020810	A1 Provisional	US 2002-429174P	20021125	<--
	Provisional	US 2003-475539P	20030602	<--
		US 2003-722843	20031125	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003298726	A1 Based on	WO 2004063213

PRIORITY APPLN. INFO: US 2003-475539P 20030602; US

2002-429174P 20021125;
US 2003-722843 20031125

INT. PATENT CLASSIF.:
MAIN: C07K000-00; C07K007-08
SECONDARY: C07K007-06

BASIC ABSTRACT:

WO2004063213 A UPAB: 20050207

NOVELTY - Peptide derivatives (I) and their salts, solvates, hydrates or N-oxides are new.

DETAILED DESCRIPTION - Peptide derivatives of formula (I) and their salts, solvates, hydrates or N-oxides are new.

j, k = 0-1;
p, q = 0-100;
r, s = 0-1;

R1 = (substituted) acyl, acyl chelate, (substituted) alkyl, (substituted) cycloalkyl or (substituted) imino;

R2 = 1-6C alkyl with at least H replaced by a substituents of NR6R7, -OR8, -CO2R9, -S(O)2R10, -P(OR11)OR12 or (substituted) aryl;

R6-R12 = H or R1;

X1 = NH(C=C)gCO-, NH(CH2)hCO- or NHCH(CH3)CO-;

g, h = 1-6;

X2 = cyclic derivative of formula (i-iii);

X3 = imidazole derivative of formula (iv);

X4 = alcohol derivative of formula (v-vi);

l = 1-4;

X5 = sulfonyl derivative of formula (vii);

R13 = H, (substituted) alkyl, (substituted) acyl, (substituted) arylalkyl, (substituted) aryl or -S(O)nR14;

n = 1-5;

R14 = (substituted) alkyl, (substituted) acyl, (substituted) arylalkyl or (substituted) aryl;

x, y = 0-2;

X6 = amide derivative formula (viii);

m = 1-4;

X7 = NH(C=C)dCO-, -NH(CH2)eCO or -NHCH(CH3)CO-;

d, e = 1-6;

R3 = 1-6C alkyl with at least H replace by a substituent of -NR15R16, -OR17, -CO2R18, -S(O)nR19, -P(OR20)OR21 or (substituted) aryl;

R4, R5 = H or (substituted alkyl); and

R15-R21 = H, (substituted) acyl, acyl chelate, (substituted) alkyl, (substituted) cycloalkyl or (substituted) imino.

Provided that R1 is not acetyl when R4 and R5 are H and r and s 0.

ACTIVITY - Cytostatic; Antiangiogenic.

Tests details are described but no results given.

MECHANISM OF ACTION - None given

USE - (I) are useful for the treament, prevention or detection of cancer (claimed), tumor growth, metastasis and angiogenesis.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B02-D; B04-C01B; B04-C01C; B04-C01D; B04-C01E; B04-C01F; B04-C01G; B04-N04A; B14-H01

L4 ANSWER 2 OF 3 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-450190 [42] WPIX
CROSS REFERENCE: 2004-561873 [54]
DOC. NO. CPI: C2004-168702
TITLE: Novel peptides useful as e.g. angiogenesis inhibitors for treating or preventing cancer, e.g. breast cancer, renal cancer, brain cancer, colon cancer.
DERWENT CLASS: B03
INVENTOR(S): ALLAN, A L; DONATE, F; GLADSTONE, P L; HOPKINS, S A; MAZAR, A; O'HARE, S M; PARRY, G; PLUNKETT, M; TERNANSKY, R J; YOON, W H; PLUNKETT, M L
PATENT ASSIGNEE(S): (ALLA-I) ALLAN A L; (DONA-I) DONATE F; (GLAD-I) GLADSTONE P L; (HOPK-I) HOPKINS S A; (MAZA-I) MAZAR A; (OHAR-I)

O'HARE S M; (PARR-I) PARRY G; (PLUN-I) PLUNKETT M; (TERN-I) TERNANSKY R J; (YOON-I) YOON W H; (ATTE-N) ATTENUON LLC

COUNTRY COUNT: 106

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2004047771	A2	20040610	(200442)*	EN	88	A61K000-00
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW						
US 2004162239	A1	20040819	(200455)			A61K038-08
AU 2003297609	A1	20040618	(200471)			A61K000-00

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2004047771	A2	WO 2003-US38175	20031125	
US 2004162239	A1 Provisional	US 2002-429174P	20021125	<--
	Provisional	US 2003-475539P	20030602	<--
		US 2003-723144	20031125	
AU 2003297609	A1	AU 2003-297609	20031125	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003297609	A1 Based on	WO 2004047771

PRIORITY APPLN. INFO: **US 2003-475539P 20030602; US 2002-429174P 20021125;** US 2003-723144 20031125

INT. PATENT CLASSIF.:

MAIN: A61K000-00; A61K038-08

SECONDARY: A61K038-10; C07K007-06; C07K007-08

BASIC ABSTRACT:

WO2004047771 A UPAB: 20041104

NOVELTY - Peptides are new.

DETAILED DESCRIPTION - Peptides of formula R1-Ax-By-C'z-(N-CH(R2)-C(O))a-(N-CH(R3)-C(O))b-R4 (I), their salt, solvates, hydrates or N-oxides are new.

a, b and x - z = 0 or 1;

A = cyclic amino acid;

B = basic amino acid;

C' = small amino acid;

R1 = (hetero)alkyl, acyl, alkylsulfonyl, (hetero)arylalkyl, (hetero)arylsulfonyl or oxycarbonyl (all optionally substituted);

R2 = alkyl, -(CH2)mS(O)nR5, -(CH2)mS(O)n-S(O)oR5 or -(CMe)mS(O)nR5;

m = 1-4;

n and o = 0-2;

R3 = -CH2CONH2 or -CH2CH2CONH2;

R4 = alkyl, -NR6R7 or -OR8;

R5 = (hetero)alkyl, acyl, (hetero)aryl, (hetero)arylalkyl or oxycarbonyl (all optionally substituted);

R6, R7 = H or alkyl;

R8 = (hetero)alkyl, (hetero)aryl or (hetero)arylalkyl(all optionally substituted).

Provided that:

(1) when m is 1, R5 is other than methyl;

(2) a is 1 unless A is proline, B is histidine, C is serine;
(3) when a is 0, b is 0; and
(4) R2 is -(CH2)mS(O)nR5 or -(CH2)mS(O)n-S(O)oR5 unless b, x, y and z are 1.

An INDEPENDENT CLAIM is also included for treatment or prevention of cancer involving administering (I) optionally with an anti-cancer agent.

ACTIVITY - Cytostatic; Antiangiogenic; Antiarthritic; Antidiabetic; Antiarteriosclerotic; Ophthalmological; Vulnerary; Antirheumatic; Dermatological; Antipsoriatic; Antiparasitic; Osteopathic; Vasotropic; Tranquilizer; Thrombolytic; Gynecological; Antiinflammatory; Respiratory-Gen.; Antiulcer; Antisickling.

MECHANISM OF ACTION - Angiogenesis inhibitor; Cell migration, cell invasion and cell proliferation inhibitor; Tumor growth inhibitor.

Acetyl-Pro-His-Ser-Cys(S-tert-Bu)-Asn-NH2 (A) was tested in vivo for its ability to inhibit FGF-2 mediated angiogenesis in a Matrigel Plug (RTM) model according to Passaniti et al., 1992, Lab Invest. 67:519-528.

(A) showed % inhibition of 88.2 plus or minus 42.9.

USE - (I) Are used for treating or preventing cancer e.g. breast cancer, renal cancer, brain cancer, colon cancer, prostrate cancer, chondrosarcoma or angiosarcoma (claimed); for treating diseases associated with aberrant vascularization including arthritis, diabetes, arteriosclerosis, arteriovenous malformation, corneal graft neovascularization, delayed wound healing, diabetic retinopathy, age related macular degeneration, granulation burn, hemophilic joint, rheumatoid arthritis, hypertrophic scar, neovascular glaucoma, nonunion fracture, Osier Weber Syndrome, psoriasis, retrolental fibroplasia, pterygium, scleroderma, trachoma, vascular adhesion, ocular neovascularization, parasitic disease, hypertrophy following surgery, inhibition of hair growth, macular degeneration, osteoarthritis, benign hyperplasia, atherosclerosis, myocardial angiogenesis, post-balloon angioplasty vascular restenosis, neointima formation following vascular trauma, vascular graft restenosis, coronary collateral formation, deep venous thrombosis, ischemic limb angiogenesis; telangiectasia, pyogenic granuloma, corneal disease, rubeosis, neovascular glaucoma, diabetic and other retinopathy, retrolental fibroplasias, diabetic neovascularization, endometriosis, fibrosis associated with a chronic inflammatory condition, traumatic spinal cord injury including ischemia, scarring or fibrosis, lung fibrosis, chemotherapy-induced fibrosis; wound healing with scarring and fibrosis, peptic ulcers, a bone fracture, keloids, or a disorder of vasculogenesis, hematopoiesis, ovulation, menstruation, pregnancy or placentation associated with pathogenic cell invasion or with angiogenesis, retinopathy of prematurity, sickle cell retinopathy or retinal vein occlusion; for treating uterine disease; to detect or image disease or conditions associated with undesired cell migration, invasion or proliferation.

ADVANTAGE - The compounds (I) are potent inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation.

Dwg.0/5

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B04-C01A; B06-H; B07-H; B10-A04; B10-A08; B10-A10; B10-A12C; B10-B02; B10-D03; B14-B02; B14-C03; B14-C09; B14-D01B; B14-D01C; B14-E08; B14-F02; B14-F03; B14-F04; B14-F07; B14-H01; B14-K01; B14-L06; B14-N01; B14-N03; B14-N14; B14-N16; B14-N17; B14-P02; B14-R02; B14-S04

L4 ANSWER 3 OF 3 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2003-103447 [09] WPIX
DOC. NO. CPI: C2003-026138
TITLE: New sulfonamide derivatives useful in the treatment of e.g. cancer.
DERWENT CLASS: B03
INVENTOR(S): CHUNG, Y; KIM, Y; LEE, K; PARK, H; JUNG, Y J; KIM, Y C; LEE, G H; PARK, H J; CHUNG, Y J
PATENT ASSIGNEE(S): (KOLO-N) KOLON IND INC; (CHUN-I) CHUNG Y; (KIMY-I) KIM Y;

(LEEK-I) LEE K; (PARK-I) PARK H
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2002088115	A1	20021107	(200309)*	EN	71	C07D403-12
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW						
KR 2002083084	A	20021101	(200319)			C07D403-00
KR 2003047127	A	20030618	(200370)			C07D241-04
KR 2003075322	A	20030926	(200409)			C07D403-12
EP 1389204	A1	20040218	(200413)	EN		C07D403-12
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
AU 2002251588	A1	20021111	(200433)			C07D403-12
US 2004138206	A1	20040715	(200447)			A61K031-551
KR 432928	B	20040528	(200463)			C07D403-00
JP 2004533435	W	20041104	(200472)		120	C07D241-08

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2002088115	A1	WO 2002-KR759	20020424	
KR 2002083084	A	KR 2001-22767	20010426	
KR 2003047127	A	KR 2001-77522	20011207	
KR 2003075322	A	KR 2002-14481	20020318	
EP 1389204	A1	EP 2002-720668	20020424	
		WO 2002-KR759	20020424	
AU 2002251588	A1	AU 2002-251588	20020424	
US 2004138206	A1	WO 2002-KR759	20020424	
		US 2003-475539	20031211	<--
KR 432928	B	KR 2001-22767	20010426	
JP 2004533435	W	JP 2002-585415	20020424	
		WO 2002-KR759	20020424	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1389204	A1 Based on	WO 2002088115
AU 2002251588	A1 Based on	WO 2002088115
KR 432928	B Previous Publ.	KR 2002083084
JP 2004533435	W Based on	WO 2002088115

PRIORITY APPLN. INFO: KR 2002-14481 20020318; KR 2001-22767 20010426; KR 2001-77522 20011207
INT. PATENT CLASSIF.:
MAIN: A61K031-551; C07D241-04; C07D241-08; C07D403-00; C07D403-12
SECONDARY: A61K031-495; A61K031-496; A61K031-5377; A61P001-02; A61P001-04; A61P003-10; A61P005-18; A61P009-10; A61P009-14; A61P017-00; A61P017-02; A61P017-10; A61P019-00; A61P019-02; A61P019-10; A61P027-02; A61P029-00; A61P031-18; A61P035-00; A61P035-04; A61P043-00; C07C303-40; C07C311-19; C07D401-06

BASIC ABSTRACT:
WO 200288115 A UPAB: 20030206
NOVELTY - New sulfonamide derivatives of formula (I), their optical isomers, salts or solvates.

DETAILED DESCRIPTION - Sulfonamide derivatives of formula (I), their optical isomers, salts or solvates are new.

n = 0 -3;

A = CO2H, CONHOH, CH2SH or CH2OH;

B = H, 1-8C lower alkyl, nitro, aryl, heteroaryl, pyrrole, halo, 1-8C O-lower alkyl, O-aryl, N-lower alkyl, S-lower alkyl, phenyl (substituted by X), amide compound of formula CONHR or NHCOR, carbamate compound of formula NHCOOR or urea compound of formula NHCONHR;

X = H, 1-8C lower alkyl, 9-20C higher alkyl, 9-20C higher alkyl comprising a double bond, (hetero)aryl, halo, O-lower alkyl, O-aryl, O-heteroaryl, N-aryl, N-heteroaryl, S-aryl, S-heteroaryl, 1-20C alkyl-amine derivative, 1-20C alkyl-carboxylic acid derivative, amine or nitro;

R = H, 1-8C lower alkyl, (hetero)aryl, tetragonal to octagonal (hetero)cyclic compound or 1-8C lower alkyl (substituted by tetragonal to octagonal (hetero)cyclic compound);

Z = H, O or S;

Y = H, 1-18C alkyl, (hetero)aryl, 1-8C lower alkyl (substituted by a tetragonal to octagonal (hetero)cyclic compound), amide compound of formula CONHR or NHCOR, carbamate compound of formula NHCOOR, urea compound of formula NHCONHR, 1-8C lower alkyl having a double or a triple bond, 9-20C higher alkyl having a double or a triple bond.

Provided that when Z is O or S the C(ring atom)-Z bond is a double bond.

INDEPENDENT CLAIMS are also included for:

(1) Preparation of (I);

(2) New 4-phenylsulfonyl-piperazine intermediates (II);

(3) Preparation of (II) comprising reaction of a substituted phenylsulfamide of formula (III) with methanesulfonyl chloride, toluenesulfonyl chloride or triflic anhydride in the presence of a base, and reaction of the product with primary amine;

(4) New substituted phenylsulfamide of formula (III); and

(5) Preparation of (III) comprising reaction of the compound of formula (IV) with ethyl bromoacetate and halogen in presence of an inorganic base and N,N-dimethyl formamide or acetonitrile solvent.

W and X = H, methyl, ethyl, t-butyl or 1-8C lower alkyl group comprising a benzyl group.

ACTIVITY - Cytostatic; Antiarteriosclerotic; Ophthalmological; Antidiabetic; Antiarthritic; Antirheumatic; Antiinflammatory; Antiulcer; Osteopathic; Antiseborrheic; Dermatological; Anti-HIV; Antipsoriatic; Vulnerary.

MECHANISM OF ACTION - Matrix metalloproteinase (MMP) inhibitor.

The MMP inhibitor activities were measured by fluorescence assay as described by Knight, C. G., Willenbrock, F., Murphy, G. A., FEBS Lett. 1992, 296, 263-266 . For 1-(4'-bromo-biphenyl-4-sulfonyl)-4-octyl-5-oxo-piperazine-2-hydroxamate. The results indicated an IC50 (mu M) valve of 0.016, 0.002, 0.0013 and 0.007 for MMP-1, MMP-2, MMP-9 and MMP-13 respectively.

USE - In the treatment of cancer metastasis, solid cancer and angiogenesis (claimed). Also useful in the treatment of cardiovascular disease (e.g. hemangioma, angiofibroma), angiostenosis, edematous sclerosis, eye diseases caused by angiogenesis, corneal transplantation, angiogenic glaucoma, diabetic retinopathy, angiogenic corneal disease, age-related macular degeneration, pterygium, retinal degeneration, retreolental fibroplasias, granular conjunctivitis, skin diseases caused by angiogenesis (e.g. chronic inflammatory diseases e.g. arthritis, psoriasis, telangiectasis, granuloma pyogenicum, sebborhoeic dermatitis), periodontal disease, tumors, rheumatoid arthritis, inflammation, hyperparathyroidism, diabetes, corneal ulcers, osteoporosis, stomach ulcers, wounds, wrinkles, acne, AIDS, burns, arteriosclerosis, bone fractures.

ADVANTAGE - The compound is a potent proteinase inhibitor.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: B07-D03; B07-D11; B10-A08; B14-C03; B14-C09;

B14-D07C; B14-E08; B14-F01; B14-F02F2; B14-F07;
B14-G01B; B14-H01; B14-N01; B14-N03; B14-N06B;
B14-N11; B14-N17; B14-S04; N02-F01

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L1            3 US20050020810/PN OR (US2002-429174# OR US2003-475539#)/AP,PRN

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L2              TRA L1 1- RN :       209 TERMS

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L3           209 SEA L2

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L4            3 US20050020810/PN OR (US2002-429174# OR US2003-475539#)/AP,PRN

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L12           4 L5 FULL
L13           4 L12 AND L3

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L15           0 L12

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   19 JUN 2005  HIGHEST RN 852520-85-5
DICTIONARY FILE UPDATES:  19 JUN 2005  HIGHEST RN 852520-85-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
```

information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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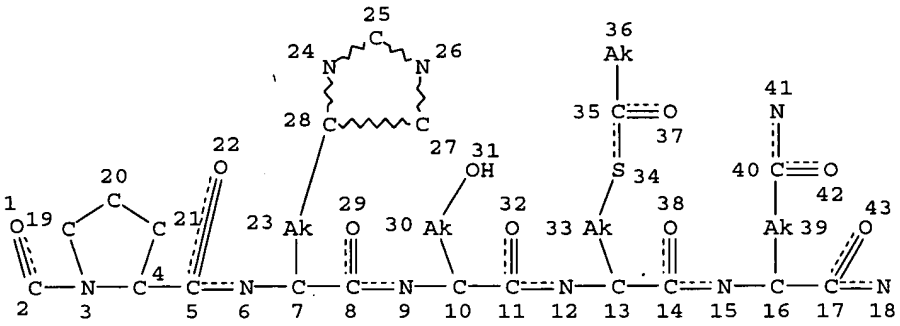

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE
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FILE COVERS 1907 - 20 Jun 2005 VOL 142 ISS 26
FILE LAST UPDATED: 19 Jun 2005 (20050619/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d all hitstr l14 tot

L14 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:610128 HCAPLUS
DN 141:157478
ED Entered STN: 30 Jul 2004
TI Peptides which target tumor and endothelial cells, compositions and uses thereof
IN Allan, Amy L.; Yoon, Won Hyung; Gladstone, Patricia L.; Ternansky, Robert J.; Parry, Graham; Donate, Fernando; Mazar, Andrew
PA Attenuon, Llc, USA
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004063213	A2	20040729	WO 2003-US37895	20031125
	WO 2004063213	A3	20050303		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004162239	A1	20040819	US 2003-723144	20031125
	US 2005020810	A1	20050127	US 2003-722843	20031125
PRAI	US 2002-429174P	P	20021125		
	US 2003-475539P	P	20030602		

CLASS

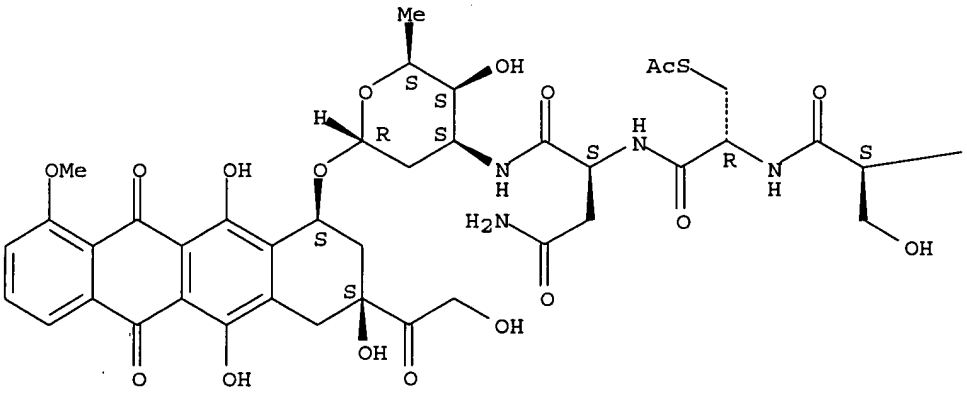
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004063213	ICM	C07K
US 2004162239	NCL	514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000
US 2005020810	NCL	530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000

OS MARPAT 141:157478
AB The invention relates generally to peptide analogs of Ac-PHSCN-NH2 which target tumor and endothelial cells and have antitumor, antiangiogenic and antimetastatic activity and to methods for their synthesis and use in pharmaceutical compns. for treating, preventing and detecting diseases characterized by tumor growth, metastasis and angiogenesis. The peptide analogs may serve, inter alia, as carriers of radioactivity, PET-active compds., toxins, fluorescent mols. and PEG mols. Peptides R1[(NHCHR2CO)0-1(X1)0-100]m-X2-X3-X4-X5-X6-[(X7)0-1(NHCHR3CO)0-1]nNR4R5 [R1 is (un)substituted acyl, alkyl, cycloalkyl or imino, or acyl chelate; R2 is substituted alkyl; R4, R5 are (un)substituted alkyl; X1, X7 are NH(CH:CH)1-6CO, NH(CH2)1-6CO, NHCHMeCO; X2-X6 are α-amino acids which are defined; m, n are 0 or 1, with the proviso that R1 is not acetyl when R4 and R5 are H and m and n are 0] are claimed. Thus, Ac-Pro-His-Ser-Cys(Ac)-Asn-OH was prepared by the solid-phase method and coupled to doxorubicin hydrochloride to afford the conjugate.
ST peptide prolylhistidylserylcysteinylaspartamide analog prepn antitumor
IT Angiogenesis
Angiogenesis inhibitors
Antitumor agents
Neoplasm

(preparation of peptides which target tumor and endothelial cells)
IT Peptides, preparation
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)
IT Polyoxyalkylenes, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides which target tumor and endothelial cells)
IT 729594-60-9P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)
IT 7440-74-6DP, Indium, complexes with DPTA peptide conjugate 262438-43-7DP, analogs 729594-61-0P 729594-62-1P 729594-63-2P 729594-64-3P 729594-65-4P 729594-66-5P 729594-67-6P 729594-68-7P 729594-69-8P 729594-70-1P 729594-71-2P **729594-72-3P** 729594-73-4P 729594-74-5P 729594-75-6P 729594-76-7P 729594-77-8P 729594-78-9P 729594-79-0P 729594-80-3P 729594-81-4P 729594-82-5P 729594-83-6P 729594-84-7P 729594-85-8P 729594-86-9P 729594-87-0P 729594-88-1P 729594-89-2P 729594-90-5P 729594-91-6P 729594-92-7P 729594-93-8P 729594-94-9P 729594-95-0P 729594-96-1P 729594-97-2P 729594-98-3P 729594-99-4P 729595-00-0P 729595-01-1P 729595-02-2P 729595-03-3DP, polyethylene glycol derivative 729595-04-4P 729595-05-5P 729595-06-6P 729595-07-7P 729595-08-8P 729595-09-9P 729595-14-6P 730960-54-0P 731003-01-3DP, Indium complexes 731003-01-3P 731003-02-4P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)
IT 456-22-4, 4 Fluorobenzoic acid 501-97-3 553-12-8 3301-79-9, 6 Carboxyfluorescein 13811-11-5 25316-40-9, Doxorubicin hydrochloride 34071-95-9 66134-67-6 76823-03-5, 5 Carboxyfluorescein 106966-68-1 137076-54-1, 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, tris 1 1 dimethylethyl ester 517913-89-2 622405-78-1 729595-15-7 729595-16-8D, resin-bound 729595-17-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides which target tumor and endothelial cells)
IT 729595-10-2DP, resin-bound 729595-11-3DP, resin-bound 729595-12-4DP, resin-bound 729595-13-5DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides which target tumor and endothelial cells)
IT **729594-72-3P**
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides which target tumor and endothelial cells)
RN 729594-72-3 HCAPLUS
CN 5,12-Naphthacenedione, 10-[[3-[(1-acetyl-L-prolyl-L-histidyl-L-seryl-S-acetyl-L-cysteinyl-L-asparaginyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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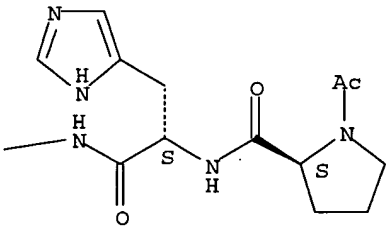

L14 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:467702 HCAPLUS
DN 141:33798
ED Entered STN: 10 Jun 2004
TI Peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, their preparation, and compositions and therapeutic uses thereof
IN Allan, Amy L.; Donate, Fernando; Hopkins, Stephanie A.; Gladstone, Patricia L.; Mazar, Andrew; O'Hare, Sean M.; Parry, Graham; Plunkett, Marian L.; Ternansky, Robert J.; Yoon, Won Hyung
PA Attenuon, LLC, USA
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K
CC 1-8 (Pharmacology)
Section cross-reference(s): 34, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004047771	A2	20040610	WO 2003-US38175	20031125

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004162239 A1 20040819 US 2003-723144 20031125
US 2005020810 A1 20050127 US 2003-722843 20031125
PRAI US 2002-429174P P 20021125
US 2003-475539P P 20030602

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004047771	ICM	A61K
US 2004162239	NCL	514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000
US 2005020810	NCL	530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000

OS MARPAT 141:33798

AB The invention discloses peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, as well as methods of making the peptides, pharmaceutical compns. containing the peptides, and methods of using the peptides and pharmaceutical compns. to treat diseases associated with aberrant vascularization, e.g. cancer.

ST peptide cell invasion migration proliferation inhibition; antitumor aberrant vascularization disease peptide prepn

IT Sarcoma
(cartilage chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Cartilage, neoplasm
(chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Intestine, neoplasm
(colon; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Blood vessel
(endothelium; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Blood vessel, neoplasm
Sarcoma
(hemangiosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Angiogenesis
Angiogenesis inhibitors
Antitumor agents
Brain, neoplasm
Drug delivery systems
Kidney, neoplasm
Mammary gland, neoplasm
Neoplasm
Prostate gland, neoplasm
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Endothelium
(vascular; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-26-5D, biotinylated
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701200-82-0P 701201-01-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 81658-55-1P 701200-81-9P 701200-83-1P 701200-84-2P 701200-85-3P
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701200-91-1P 701200-92-2P 701200-93-3P 701200-94-4P 701200-95-5P
701200-96-6P 701200-97-7P 701200-98-8P 701200-99-9P 701201-00-5P
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701201-24-3P 701201-25-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-28-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

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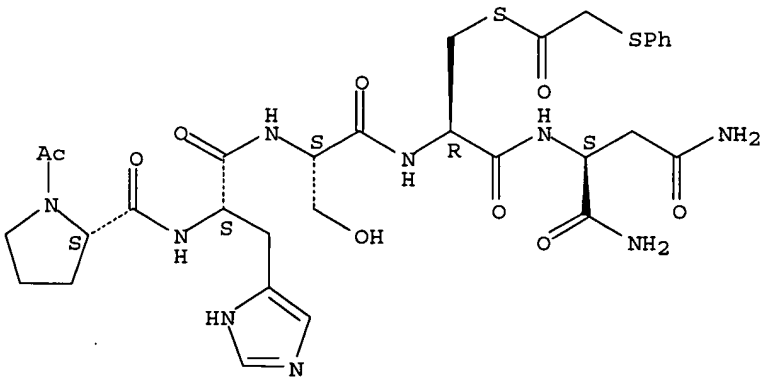
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT **701201-03-8P 701201-05-0P 701201-15-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

RN 701201-03-8 HCAPLUS
CN L-Aspartamide, 1-acetyl-L-prolyl-L-histidyl-L-seryl-S-[(phenylthio)acetyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

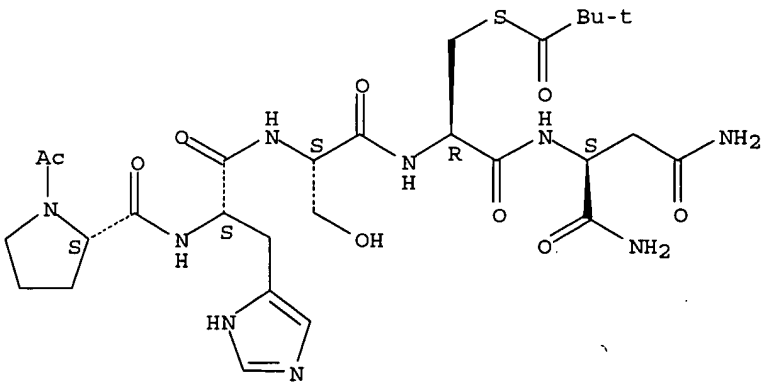
Absolute stereochemistry.



RN 701201-05-0 HCAPLUS

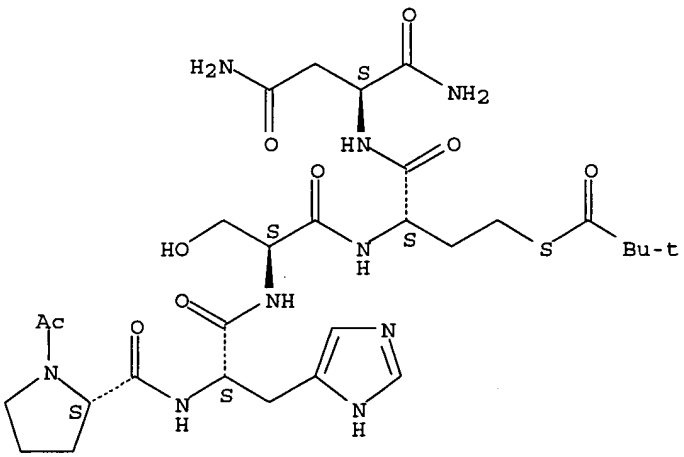
CN L-Aspartamide, 1-acetyl-L-prolyl-L-histidyl-L-seryl-S-(2,2-dimethyl-1-oxopropyl)-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 701201-15-2 HCAPLUS
CN L-Aspartamide, 1-acetyl-L-prolyl-L-histidyl-L-seryl-S-(2,2-dimethyl-1-oxopropyl)-L-homocysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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